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ISH ORMATION DISCLOSURE

NOV 0 2 2004 STATEMENT BY APPLICANT

Use as many sheets as necessary)

Complete if Known					
Application Number	10/765,227				
Filing Date	01/26/2004				
First Named Inventor	Atsuo Kuki				
Art Unit	1728-1626				
Examiner Name	Net yet assigned A found				
Attorney Docket Number	PC25051A				

	U.S. PATENT DOCUMENTS					
EXAMINER INITIAL	Cite No. 1	DOCUMENT NUMBER Number-Kind Code <sup>2</sup>	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	
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		FO	REIGN PATI	ENT DOCUMENTS		
EXAMINER INITIAL	Cite No. 1	Foreign Patent Document Country Code <sup>3</sup> Number <sup>4</sup> Kind Code <sup>5</sup> (if known)	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	J.
KIP	AA	EP 1 086 101 B1	03-28-2001	Societe De Conseils De Recherches		
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	NON PATENT LITERATURE DOCUMENTS						
Examiner Initials	Cite No. <sup>1</sup>	include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.					

EXAMINER: Circlingf. FLL	DATE CONSIDERED:

EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. Applicant's unique citation designation number (optional). See Kinds Codes of USPTO Patent Documents at wave usoto gov or MPEP 901.04. Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). For Japanese patent documents, the indication of the year of the Emperor must precede the serial number of the patent document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. Applicant is to place a check mark here if English language Translation is attached.

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## INFORMATION DISCLOSURE STATEMENT BY APPLICANT

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Comple	te if Known
Application Number	10/765,227
Filing Date	01/26/2004
First Named Inventor	Atsuo KUKI
Art Unit	1720-/626
Examiner Name	Net yet assigned A. Parcella
Attorney Docket Number	PC25051A

U.S. PATENT DOCUMENTS					
EXAMINER INITIAL	Cite No. 1	DOCUMENT NUMBER Number-Kind Code <sup>2</sup>	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevan Passages or Relevant Figures Appear
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	FOREIGN PATENT DOCUMENTS					
EXAMINER INITIAL	Cite No. 1	Foreign Patent Document Country Code <sup>3</sup> Number <sup>5</sup> Kind Code <sup>5</sup> (If known)	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T®
ATP	AA	WO 03/033496 A	04.24.2003	Adnan M. MJALLI, et al.		
ATP	AB	WO 99/64420	12.16.1999	Christophe ALAIN, et al.	(English counterpart to EP1086101).	
KTP	AC	EP 0 030 254 A	08.23.1980	Dr. Ulrich, EDER, et al.		
ATP	AD	GB 2 209 032 A	04.26.1989	Charles John Robert HEDGECOCK, et al		
ATT	AE	EP 1 209 158 A	11.18.2000	Dr. Olaf RITZELER, et al.	·	

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UNFORMATION DISCLOSURE

MY 0 7 2000 STATEMENT BY APPLICANT

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	Contains a valle OND Control Number.
Complet	te if Known
Application Number	10/765,227
Filing Date	January 26, 2004
First Named Inventor	Atsuo Kuki
Art Unit	To be assigned 1626
Examiner Name	To be assigned Anthory
Attorney Docket Number	PC25051A Pariglianit

U.S. PATENT DOCUMENTS					
EXAMINER INITIAL	Cite No. 1	DOCUMENT NUMBER Number-Kind Code <sup>2</sup>	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
,DP	AA	10/699,068	Filed on 10- 30-2003	Agouron Pharmaceuticals, Inc.	

		FOI	REIGN PAT	ENT DOCUMENTS		
EXAMINER INITIAL	Cite No. 1	Foreign Patent Document Country Code <sup>3</sup> Number <sup>4</sup> Kind	Publication Date	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant	To
		Code <sup>5</sup> (if known)	MM-DD-YYYY		Figures Appear	┼—
ATP		WO 02/070491 (English abstract		Abrhaet only Shionogi & Co., Ltd.		
	AB	enclosed)	09-12-2002	Shionogi & Co., Ltd.		—
ATP		JP 2003119137		Abstractor		
Asi	AC	(English abstract enclosed)	04-23-2003	Japan Tobacco, Inc.		
120			į	Instituto Di Richerche Di		
AN	AD	WO 03/035076	05-01-2003	Biologa Molecolare P. Angeletti Spa D. Francesco	7	
AJP		WO 03/047564 (English abstract		Abstractory		
	AE	enclosed)	06-12-2003	Shionogi & Co., Ltd.		
470				Bristol-Myers Squibb		
7UT	AF	WO 03/049690	06-19-2003	Company Walker		

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EXAMINER: Initial if reference confidence interests from cisation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. Applicants's unique citation designation number (optional). See Kinds Codes of USPTO Patent Documents at <a href="https://www.uspto.gov">www.uspto.gov</a> or MPEP 901.04. Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the strial number of the patent document. Victorial St.16 if possible. Applicant is to place a check mark here if English language Translation is attached.

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Complete if Known	
Application Number	10/765,227
Filing Date	January 26, 2004
First Named Inventor	Atsuo Kuki
Art Unit	In be assigned 1624
Examiner Name	To be assigned Authory
Attorney Docket Number	PC25051A ) Cariffical
	Complet Application Number Filing Date First Named Inventor Art Unit Examiner Name

ATP	AG	JP 2003171381 (counterpart is WO 2003035650 for which English abstract is enclosed)	06-20-2003	Abstract only Takeda Chemical Industries, Ltd.		
ATP	АН	WO 03/062204	07-31-2003	Merck & Co., Inc. Egber For,	•	

		NON PATENT LITERATURE DOCUMENTS	
Examiner Initials	Cite No.1	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T²
AJP	Al	ABDEL-MAGID, et al., "Reductive Amination of Aldehydes And Ketones With Sodium Triacetoxyborohydride. Studies On Direct And Indirect Reductive Amination Procedures," <i>Journal of Organic Chemistry</i> , 1996, 3849-3862, Vol. 61.	
ATP	AJ	BAGSHAWE, K. et. al., "Antibody-Directed Enzyme Prodrug Therapy: A Review," <i>Drug. Development Research</i> , 1995, 220-230, Vol. 34.	
ATP	AK	BARBIER, C., et al., "Preparation of Lavendamycin Analogues," <i>Heterocycles</i> , 2000, 37-48, Vol. 53, No. 1.	
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ATP	AO	BUNDGAARD, et al., Design of Prodrugs, 1985, Elsevier Press. (table of contents only)	
ATP	AP	BUNDGAARD, H. "Design and Application of Prodrugs", <u>Drug Design Application and Development</u> , 1991.	
AJP	AQ	BUTLER, S.L., et al., "A Quantitative Assay for HIV DNA Integration In Vivo," Nature Medicine, May 2001, 631-634, Vol. 7, No. 5.	
ATP	AR	CAMPBELL, K.N., et al., "The Preparation of Unsymmetrical Secondary Aliphatic Amines," J. Am. Chem. Soc., 1944, 82-84, Vol. 66.	

EXAMINER: John John	DATE CONSIDERED: 3/14/15
Chillians. Short	د ۱/۱/۱۵

EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant, 'Applicant's unique citation designation number (optional). <sup>2</sup>See Kinds Codes of USPTO Patent Documents at www.usping.gov or MPEP 901.04. <sup>2</sup>Enter Offfice that issued the document, by the two-letter code (WIPO Standard ST.3). \*For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. <sup>3</sup>Kindof document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. <sup>4</sup>Applicant is to place a check mark here if English language Translation is attached.

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<b>INFORMATION</b>	DISCLOSURE
STATEMENT B	Y APPLICANT

Substitute for form 1449/PTO

(Use as many sheets as necessary)

Comple	te if Known
Application Number	10/765,227
Filing Date	January 26, 2004
First Named Inventor	Atsuo Kuki
Art Unit	Jo be assigned (626
Examiner Name	To be assigned A Paviglian
Attorney Docket Number	PC25051A

100		CHEN, B. et al., "Distinct Modes Of Human Immunodeficiency Virus Type 1 Proviral Latency Revealed By Superinfection Of Nonproductively Infected Cell Lines With Recombinant Lysiferase-	
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Æ	AT	DEAR, G.J. et. al., "Mass Directed Peak Selection, an Efficient Method of Drug Metabolite Identification Using Directly Coupled Liquid Chromatography-Mass Spectrometry-Nuclear Magnetic Resonance Spectroscopy," <i>Journal of Chromatography B</i> , 2000, 281-293, Vol. 748.	
ATP	AU	DEBYSER, Z., et al., "Assays for the Evaluation of HIV-1 Integrase Inhibitors," Methods in Molecular Biology 160, 139-155. SCHEIN, C.H., Humana Press Inc., Totawa, NJ 2001.	
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AJP	AX	EBERLE, M., "Contribution To The Chemistry Of Indole. About The 5-(1-Indolyl)-2-Pentanone System," Journal of Organic Chemistry, 1976, 633-636, Vol. 41, No. 4.	
ASP	AY	ELIEL, E.L., et al., Stereochemistry of Organic Compounds, Wiley New York, 1994.	
AJP	ΑZ	EROFEEV, Y.V., et al., "Introduction of 3-Indolymethyl Residues in Nitroacetic Acid Esters," Khim. Get. Soed., 1978, 780.	
Asir	ВА	GOLDGUR, Y., et al., "Structure Of The HIV-1 Integrase Catalytic Domain Complexed With An Inhibitor: A Platform For Antiviral Drug Design," <i>PNAS</i> , November 9, 1999, 13040-13043, Vol. 96, No. 23.	
AN	BB	GROBLER, J. et al., "Diketo Acid Inhibitor Mechanism And HIV-1 Integrase: Implications For Metal Binding In The Active Site Of Phosphotransferase Enzymes," PNAS, May 14, 2002, 6661-6666, Vol. 99, No. 10.	
ATP	вс	HANSEN, M.S., et al., "Integration Complexes Derived From HIV Vectors For Rapid Assays In Vitro," Nature Biotechnology, June 1999, 578-582, Vol. 17, No. 6.	
ATP	BD	HAUSER, C.R., et al., Org. Synth. Coll., Vol. 2., 1943, 67, John Wiley, New York.	
ATP	BE	HAZUDA, D. et al., "Discovery And Analysis Of Inhibitors Of The Human Immunodeficiency Integrase," <i>Drug Design And Discovery</i> , 1997, 17-24, Vol. 15.	

EXAMINER: Aft Shop	DATE CONSIDERED: 3/14/05

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<b>INFORMATION</b>	<b>DISCLOSURE</b>
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Complet	te if Known
Application Number	10/765,227
Filing Date	January 26, 2004
First Named Inventor	Atsuo Kuki
Art Unit	To be assigned 1626
Examiner Name	To be assigned A Pavis Sead
Attorney Docket Number	PC25051A

ATP	BF	JENKINS, T.M., et al., "A Soluble Active Mutant of HIV-1 Integrase," Journal of Biological Chemistry, 1996, 7712-7718, Vol. 271, No. 13.
ATP	BG	KANTLEHNER, W., et al., "Umsetzungen von <i>tert</i> -Butoxy-N,N,N',N'-tetramethylmethandiamin mit Nh- und CH-aciden Verbindungen," <i>Liebigs Ann. Chem.</i> , 1980, 344 (see English abstract).
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AJP	вј	MARCH, JERRY, Advanced Organic Chemistry, 5th Edition, 50%, 511, John Wiley & Sons, 2001.
ATP	BK	NEEF, G., et al., "Synthesis of 4-Substituted ß-Carbolines," <i>Heterocycles</i> , 1983, 1295-1313, Vol. 20, No. 7.
ATP	BL	PAIS, G., et al., "Structure Activity of 3-Aryl-1,3-diketo-Containing Compounds as HIV-1 Integrase Inhibitors," Journal of Medicinal Chemistry, 2002, pp. 3184-3194, Vol. 45.
AJP	вм	PROX, et. al., "Rapid Structure Elucidation of Drug Metabolites by Use of Stable Isotopes," Xenobiotica, 1973, 103-112, Vol. 3 No. 2.
AJP	BN	SANDRIN, J., et al., "Pictet-Spengler Condensations in Refluxing Benzene," <i>Heterocycles</i> , 1976, 1101-1104, Vol. 4, No. 6.
ATP	во	SAYASITH, K., et al., "Targeting HIV-1 Integrase," Expert Opin. Ther. Targets, 2001, 443-464, Vol. 5, No. 4.
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ATI	BQ	SHAN, D. et al., "Prodrug Strategies Based On Intramolecular Cyclization Reactions," <i>J. Pharm. Sci.</i> , 1997, 765-767, Vol. 86, No. 7.
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EXAMINER: Chillery Fruit	DATE CONSIDERED: 3/14/05

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## INFORMATION DISCLOSURE STATEMENT BY APPLICANT

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Complete if Known		
Application Number	10/765,227	
Filing Date	January 26, 2004	
First Named Inventor	Atsuo Kuki	
Art Unit	To be assigned 1626	
Examiner Name	To be assigned Alexidian	
Attorney Docket Number	PC25051A	

ATP	вт	STILL, W., et al., "Rapid Chromatographic Technique For Preparative Separations With Moderate Resolution," <i>Journal of Organic Chemistry</i> , 1978, 2923-2925, Vol. 43, No. 14.
AJP	BU	SUNDBERG, et al., "Syntheses With N-Protected 2-Lithioindoles," <i>Journal of Organic Chemistry</i> , 1973, 3324-3330, Vol. 38, No. 19.
ATT	BV	TERWILLIGER, E.F., et al., "Construction and Use of a Replication-Competent Human Immunodeficiency Virus (HIV-1) That Expresses the Chloramphenicol acetyltransferase Enzyme," <i>Proc. Natl. Acad. Sci., USA</i> , May 1989, 3857-3861, Vol. 86.
AJP	BW	WAI, J. et al., "4-Aryl-2,4-Dioxobutanoic Acid Inhibitors Of HIV-1 Integrase And Viral Replication In Cells," <i>Journal of Medicinal Chemistry</i> , December 28, 2000, 4923-4926, Vol. 43, No. 26.
ATP	вх	WEISLOW, O.S., et al., "New Soluble-Formazan Assay for HIV-1 Cytopathic Effects: Application to High-Flux Screening of Synthetic and Natural Products for AIDS-Antiviral Activity," J. Natl. Cancer Inst., April 19, 1989, 577-586, Vol. 81, No. 8.
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ATP	BZ	YOUNG, S., et al., "L-870, 810: A Potent Antiviral HIV Integrase Inhibitor with Potential Clinical Utility," Poster presented at International AIDS Conference, Barcelona, (July 7-12, 2002).

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